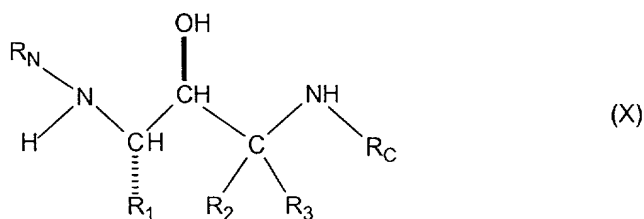


WE CLAIM:

1. A substituted amine of formula (X)



5

where R₁ is:

(I) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, C₁-C₇ alkyl (optionally substituted with C₁-C₃ alkyl and C₁-C₃ alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

10

(II) -CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

(III) -CH₂-CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

15

(IV) C₂-C₆ alkenyl with one or two double bonds, optionally

substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted

with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

20

(VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is

phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthyl, or tetralinyl

optionally substituted with one, two, three or four of the following substituents on the aryl ring:

25

(A) C₁-C₆ alkyl optionally substituted with one, two or three

substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

above,

30

(B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5 (C) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(D) -F, Cl, -Br, or -I,
10 (E) -C₁-C₆ alkoxy optionally substituted with one, two, or three -F,

(F) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(G) -OH,

(H) -C≡N,

15 (I) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(J) -CO-(C₁-C₄ alkyl),

(K) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

20 (L) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(M) -SO₂-(C₁-C₄ alkyl),

(VII) -(CH₂)_{n1}-(R_{1-heteroaryl}) where n₁ is as defined above and where R_{1-heteroaryl} is selected from the group consisting of:

25 pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
30 pyridazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,

5 quinoxalinyI,
phthalazinyI,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyI,
indazolyl,
10 benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
15 pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
20 oxazolopyridinyI,
imidazopyridinyI,
isothiazolyl,
naphthyridinyI,
cinnolinyI,
25 carbazolyl,
beta-carbolinyI,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyI,
30 isoindolinyI,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothieryl,
benzoxazolyl,

pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
5 benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
10 benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
15 benzoxazinyl,
dihydrobenziso-thiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
20 isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide
tetrahydroquinolinyl
25 dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
30 isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,

- pyridazinyl N-oxide,
 pyrazinyl N-oxide,
 quinolinyl N-oxide,
 indolyl N-oxide,
 5 indolinyl N-oxide,
 isoquinolyl N-oxide,
 quinazolinyl N-oxide,
 quinoxalinyl N-oxide,
 phthalazinyl N-oxide,
 10 imidazolyl N-oxide,
 isoxazolyl N-oxide,
 oxazolyl N-oxide,
 thiazolyl N-oxide,
 indoliziny N-oxide,
 15 indazolyl N-oxide,
 benzothiazolyl N-oxide,
 benzimidazolyl N-oxide,
 pyrrolyl N-oxide,
 oxadiazolyl N-oxide,
 20 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 benzothiopyranyl S-oxide, and
 benzothiopyranyl S,S-dioxide,
 25 where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring
 atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to
 the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is
 optionally substituted with one, two, three or four of:
- (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three
 30 substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH,
 -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined
 above,
- (2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(3) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of

5 -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, -Cl, -Br, or -I,

(5) -C₁-C₆ alkoxy optionally substituted with one, two, or three -F,

10 (6) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(7) -OH,

(8) -C≡N,

(9) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

15 -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(10) -CO-(C₁-C₄ alkyl),

(11) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(12) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(13) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero

20 R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen,

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and

R_{1-heterocycle} is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

25 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

30 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

homopiperidinyl,
 homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide,
 5 oxazolidinonyl,
 dihydropyrazolyl,
 dihydropyrrolyl,
 dihydropyrazinyl,
 dihydropyridinyl,
 10 dihydropyrimidinyl,
 dihydrofuryl,
 dihydropyranyl,
 tetrahydrothienyl S-oxide,
 tetrahydrothienyl S,S-dioxide, and
 15 homothiomorpholinyl S-oxide,

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

20 (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

25 (2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

30 (3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or $C_1\text{-}C_6$ alkyl,

(4) -F, -Cl, -Br, or -I,

(5) $-C_1\text{-}C_6$ alkoxy optionally substituted with one, two, or three -F,

(6) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined

below,

(7) $-OH$,

(8) $-C\equiv N$,

5 (9) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of $-F$, $-Cl$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are $-H$ or C_1-C_6 alkyl,

(10) $-CO-(C_1-C_4 \text{ alkyl})$,

(11) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

10 above,

(12) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined

above,

(13) $-SO_2-(C_1-C_4 \text{ alkyl})$,

(14) $=O$, with the proviso that when n_1 is zero R_1 -

15 heterocycle is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I) $-H$, or

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$,
20 $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above;

where R_3 is:

(I) $-H$, or

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$,
25 $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above;

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms,

30 optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of $-O-$, $-S-$, $-SO_2-$, and $-NR_{N-2}-$, where R_{N-2} is as defined below;

where R_N is:

(I) $R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

(A) $-CO-$, and

(B) -SO₂-

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) -NO₂,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C₁-C₆ alkyl optionally substituted with one

substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) $-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined

above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$,

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$,

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$,

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$,

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

- (19) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_{12} \text{ alkyl})$,
- (20) $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$,
- (21) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$ where $\text{R}_{\text{N-5}}$ can be the same or different and is as defined above,
- 5 (22) $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$, where $\text{R}_{\text{N-5}}$ can be the same or different and is as defined above,
- (23) $-(\text{CH}_2)_{0-4}-\text{N}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$, where $\text{R}_{\text{N-5}}$ can be the same or different and is as defined above,
- (24) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{R}_{\text{N-2}}$ where $\text{R}_{\text{N-5}}$ and
- 10 $\text{R}_{\text{N-2}}$ can be the same or different and are as defined above,
- (25) $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ can be the same or different and are as defined above,
- (26) $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,
- (27) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$,
- 15 (28) $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$ where $\text{R}_{\text{N-aryl-1}}$ is -H or $\text{C}_1-\text{C}_4 \text{ alkyl}$,
- (29) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,
- (30) $-(\text{CH}_2)_{0-4}-\text{O}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined
- 20 above,
- (31) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,
- (32) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$ where $\text{R}_{\text{N-5}}$ is as defined above,
- 25 (33) $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N-5}})_2$ where $\text{R}_{\text{N-5}}$ is as defined above,
- (34) $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$,
- (35) $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$,
- (36) $\text{C}_2-\text{C}_6 \text{ alkenyl with one or two double bonds optionally substituted with } \text{C}_1-\text{C}_3 \text{ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C}\equiv\text{N, -CF}_3, \text{C}_1-\text{C}_3$
- 30 $\text{alkoxy, and -NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,
- (37) $\text{C}_2-\text{C}_6 \text{ alkynyl with one or two triple bonds optionally substituted with } \text{C}_1-\text{C}_3 \text{ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C}\equiv\text{N, -CF}_3, \text{C}_1-\text{C}_3$
- $\text{alkoxy, -NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or R}_{\text{N-5}})-\text{SO}_2-\text{R}_{\text{N-2}}$ where $\text{R}_{\text{N-5}}$ and $\text{R}_{\text{N-2}}$ can be the same or different and are as described above, or

(39) $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$ cycloalkyl,

(B) $-\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-heteroaryl}}$ is selected from the group

5 consisting of:

pyridinyl,
 pyrimidinyl,
 quinolinyl,
 benzothienyl,
 10 indolyl,
 indolinyl,
 pyridazinyl,
 pyrazinyl,
 isoindolyl,
 15 isoquinolyl,
 quinazolinyl,
 quinoxalinyl,
 phthalazinyl,
 imidazolyl,
 20 isoxazolyl,
 pyrazolyl,
 oxazolyl,
 thiazolyl,
 indolizinyl,
 25 indazolyl,
 benzothiazolyl,
 benzimidazolyl,
 benzofuranyl,
 furanyl,
 30 thienyl,
 pyrrolyl,
 oxadiazolyl,
 thiadiazolyl,
 triazolyl,

5 tetrazolyl,
 oxazolopyridinyl,
 imidazopyridinyl,
 isothiazolyl,
 naphthyridinyl,
 cinnolinyl,
 carbazolyl,
 beta-carbolinyl,
 isochromanyl,
10 chromanyl,
 tetrahydroisoquinolinyl,
 isoindolinyl,
 isobenzotetrahydrofuranyl,
 isobenzotetrahydrothienyl,
15 isobenzothienyl,
 benzoxazolyl,
 pyridopyridinyl,
 benzotetrahydrofuranyl,
 benzotetrahydrothienyl,
20 purinyl,
 benzodioxolyl,
 triazinyl,
 henoxazinyl,
 phenothiazinyl,
25 pteridinyl,
 benzothiazolyl,
 imidazopyridinyl,
 imidazothiazolyl,
 dihydrobenzisoxazinyl,
30 benzisoxazinyl,
 benzoxazinyl,
 dihydrobenziso-thiazinyl,
 benzopyranyl,
 benzothiopyranyl,

5 coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
10 dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
15 pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
20 indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
25 phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
30 indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,

oxadiazolyl N-oxide,
 thiadiazolyl N-oxide,
 triazolyl N-oxide,
 tetrazolyl N-oxide,
 5 benzothiopyranyl S-oxide, and
 benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted
 10 with one, two, three, or four of:

(1) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, $C_1\text{-}C_3$ alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

15 (2) -OH,
 (3) $-NO_2$,
 (4) -F, -Cl, -Br, -I,
 (5) $-CO-OH$,
 (6) $-C\equiv N$,
 20 (7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,
 (b) $-C_1\text{-}C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:

25 (i) -OH, and
 (ii) $-NH_2$,
 (c) $-C_1\text{-}C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) $-C_3\text{-}C_7$ cycloalkyl,
 30 (e) $-(C_1\text{-}C_2\text{ alkyl})-(C_3\text{-}C_7\text{ cycloalkyl})$,
 (f) $-(C_1\text{-}C_6\text{ alkyl})-O-(C_1\text{-}C_3\text{ alkyl})$,
 (g) $-C_2\text{-}C_6$ alkenyl with one or two double bonds,

(h) $-C_2\text{-}C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above, and

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl),

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl with one, two or three double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl with one, two or three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7$ cycloalkyl),

(12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined above,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$,

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$,

5

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$,

(21) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

10

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

15

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$,

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

20

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

25

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F)$,

30

(35) $C_3-C_7 \text{ cycloalkyl}$,

(36) $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, and } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$

(37) C₂-C₆ alkynyl with one or two triple bonds

optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(38) -(CH₂)₀₋₄-N(-H or R_{N-5})-SO₂-R_{N-2} where R_{N-5} and

5 R_{N-2} can be the same or different and are as described above, or

(39) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

(C) R_{N-aryl}-W-R_{N-aryl},

(D) R_{N-aryl}-W-R_{N-heteroaryl},

(E) R_{N-aryl}-W-R_{N-1-heterocycle}, where R_{N-heterocycle} is the same as R₁₋

10 heterocycle

(F) R_{N-heteroaryl}-W-R_{N-aryl},

(G) R_{N-heteroaryl}-W-R_{N-heteroaryl},

(H) R_{N-heteroaryl}-W-R_{N-1-heterocycle}, where R_{N-1-heterocycle} is the same

as R_{1-heterocycle},

15

(I) R_{N-heterocycle}-W-R_{N-aryl},

(J) R_{N-heterocycle}-W-R_{N-heteroaryl},

(K) R_{N-heterocycle}-W-R_{N-1-heterocycle},

where W is

(1) -(CH₂)₀₋₄-,

20

(2) -O-,

(3) -S(O)₀₋₂-,

(4) -N(R_{N-5})- where R_{N-5} is as defined above, or

(5) -CO-;

where R_C is:

25

(I) -C₃-C₁₀ alkyl optionally substituted with one, two or three

substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as

defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂

R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as

30 defined above, -C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂

NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally

substituted with one, two or three substituents selected from the group consisting of

C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

5 C₁-C₄ alkyl optionally substituted with one or two -OH,
C₁-C₄ alkoxy optionally substituted with one, two, or three of
-F,

-(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

C₂-C₆ alkenyl containing one or two double bonds,

10 C₂-C₆ alkynyl containing one or two triple bonds, or
phenyl,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the
15 group consisting of -O-, -S-, -SO₂-, -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl} where R_{C-heteroaryl} is the same as R_{N-heteroaryl} and R_{C-x} and R_{C-y} are as defined above,

(V) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

20 (VI) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heteroaryl} where R_{C-aryl}, R_{C-heteroaryl}, R_{C-x} and R_{C-y} are as defined above,

(VII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-aryl} where R_{C-heteroaryl}, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

25 (VIII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-heteroaryl} where R_{C-heteroaryl}, R_{C-x} and R_{C-y} are as defined above,

(IX) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-aryl}-R_{C-heterocycle} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and R_{C-heterocycle} is the same as R_{N-heterocycle},

(X) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heteroaryl}-R_{C-heterocycle} where R_{C-heteroaryl}, R_{C-heterocycle}, R_{C-x} and R_{C-y} are as defined above,

30 (XI) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}-R_{C-aryl} where R_{C-heterocycle}, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) -(CR_{C-x}R_{C-y})₀₋₄-R_{C-heterocycle}-R_{C-heteroaryl} where R_{C-heterocycle}, R_{C-heteroaryl}, R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(\text{RC}_x\text{RC}_y)_{0-4}\text{-RC-heterocycle-RC-heterocycle}$ where RC-heterocycle , RC_x and RC_y are as defined above,

(XIV) $-(\text{RC}_x\text{RC}_y)_{0-4}\text{-RC-heterocycle}$ where RC-heterocycle , RC_x and RC_y are as defined above,

5 (XV) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to RC-aryl or RC-heteroaryl or RC-heterocycle where RC-aryl or RC-heteroaryl or RC-heterocycle are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $\text{NR}_{\text{N-5}}$, O, S(=O)_{0-2} , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two $\text{-C}_1\text{-C}_3$ alkyl, -F, -OH, -SH,
10 $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, =O, or $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XVI) $[\text{C}(\text{RC}_1)(\text{RC}_2)]_{1-3}\text{-CO-N-(RC}_3)_2$ where RC_1 and RC_2 are the same or different and are selected from the group consisting of:

(A) -H,

(B) $\text{-C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three
15 substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O-phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of
20 $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O-phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) $-(\text{CH}_2)_{0-4}\text{-C}_3\text{-C}_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, $\text{-C}\equiv\text{N}$, -CF_3 , $\text{C}_1\text{-C}_6$ alkoxy, -O-phenyl, and $\text{-NR}_{1-a}\text{R}_{1-b}$ where R_{1-a} and
25 R_{1-b} are as defined above,

(D) $-(\text{C}_1\text{-C}_4\text{ alkyl})\text{-RC'-aryl}$ where RC'-aryl is as defined for $\text{R}_{1\text{-aryl}}$,

(E) $-(\text{C}_1\text{-C}_4\text{ alkyl})\text{-RC-heteroaryl}$ where RC-heteroaryl is as defined above,

(F) $-(\text{C}_1\text{-C}_4\text{ alkyl})\text{-RC-heterocycle}$ where RC-heterocycle is as defined
30 above,

(G) -RC-heteroaryl where RC-heteroaryl is as defined above,

(H) -RC-heterocycle where RC-heterocycle is as defined above, and

(I) -RC'-aryl where RC'-aryl is as defined above,

and where RC_3 is the same or different and is:

(A) -H,

(B) -C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as
5 defined above,

(C) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

(D) -(C₁-C₄ alkyl)-R_{C'-aryl} where R_{C'-aryl} is as defined above,

(E) -(C₁-C₄ alkyl)-R_{C-heteroaryl} where R_{C-heteroaryl} is as defined
above, or

10 (F) -(C₁-C₄ alkyl)-R_{C-heterocycle} where R_{C-heterocycle} is as defined
above; or
pharmaceutically acceptable salts thereof.

2. A substituted amine according to claim 1

15 where R₁ is:

-(CH₂)₀₋₁-(R_{1-aryl}), or

-(CH₂)_{n1}-(R_{1-heteroaryl})

where R_N is:

R_{N-1}-X_N- where X_N is selected from the group consisting of:

20 -CO-, and

-SO₂-,

where R_{N-1} is selected from the group consisting of:

-R_{N-aryl}, and

-R_{N-heteroaryl},

25 where R_C is:

-C₃-C₈ alkyl,

-(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,

-(CR_{C-x}R_{C-y})₁₋₄-R_{C-aryl},

-(CR_{C-x}R_{C-y})₁₋₄-R_{C-heteroaryl},

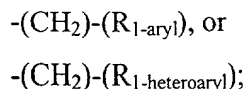
30 -(CR_{C-x}R_{C-y})₁₋₄-R_{C-heterocycle}, or

-cyclopentyl or -cyclohexyl ring fused to R_{C-aryl} or R_{C-heteroaryl} or R_{C-}

heterocycle.

3. A substituted amine according to claim 2

where R_1 is:



where R_2 is -H;

5 where R_3 is -H;

where R_N is:

$R_{N-1}-X_N-$ where X_N is:



where R_{N-1} is selected from the group consisting of:

10 $-R_{N-aryl}$, and

$-R_{N-heteroaryl}$,

where R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

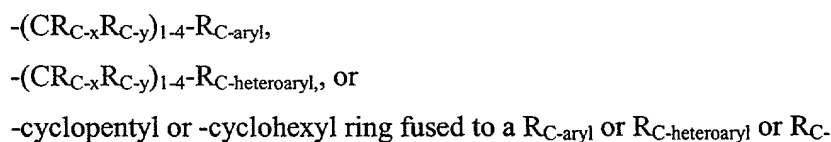
$-(CR_{C-x}R_{C-y})_{1-4}-R_{C-aryl}$,

15 $-(CR_{C-x}R_{C-y})_{1-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{1-4}-R_{C-heterocycle}$, or

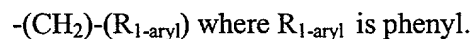
-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

20 4. A substituted amine according to claim 3 where R_C is:



25

5. A substituted amine according to claim 1 where R_1 is



6. A substituted amine according to claim 1 where R_1 is

30 $-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl substituted with two -F.

7. A substituted amine according to claim 6 where the -F substitution is 3,5-difluorobenzyl.

8. A substituted amine according to claim 1 where R_2 is -H.
9. A substituted amine according to claim 1 where R_3 is -H.
- 5 10. A substituted amine according to claim 1 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl
substituted with one -CO-NR_{N-2}R_{N-3} where the substitution on phenyl is 1,3-.
11. A substituted amine according to claim 10 where R_{N-2} and R_{N-3} are the same and
10 are C₃ alkyl.
12. A substituted amine according to claim 1 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl
substituted with one C₁ alkyl and with one -CO-NR_{N-2}R_{N-3} where the substitution on
15 the phenyl is 1,3,5-.
13. A substituted amine according to claim 12 where R_{N-2} and R_{N-3} are the same and
are C₃ alkyl.
- 20 14. A substituted amine according to claim 1 where R_N is
 $R_{N-1}-X_N$ where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is
substituted with one -CO-NR_{N-2}R_{N-3}.
15. A substituted amine according to claim 14 where R_{N-2} and R_{N-3} are the same and
25 are -C₃ alkyl.
16. A substituted amine according to claim 1 where R_C is:
-(CR_{C-x}R_{C-y})₁₋₄-R_{C-aryl} where R_{C-aryl} is phenyl,
-(CR_{C-x}R_{C-y})₁₋₄-R_{C-heteroaryl}, or
30 -cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or R_{C-heteroaryl} or R_{C-heterocycle}.
17. A substituted amine according to claim 16 where R_C is: -(CR_{C-x}R_{C-y})₁₋₄-R_{C-aryl}
where R_{C-aryl} is phenyl.

18. A substituted amine according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine according to claim 16 where R_C is:

5 $-(CH_2)-R_{C\text{-heteroaryl}}$.

20. A substituted amine according to claim 16 where R_C is:

$-(CH_2)-R_{C\text{-heterocycle}}$

10 21. A substituted amine according to claim 16 where R_C is:

$-\text{cyclohexyl ring fused to a phenyl ring.}$

22. A substituted amine according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic,

15 aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camrylic, carbonic, chlorobenzoic, citric, edetic, edisyllic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, 20 oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

25

23. A substituted amine according to claim 1 which is selected from the group consisting of:

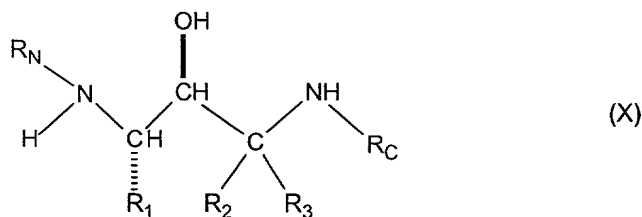
$N^1-[(1S,2S)-1-(3,5\text{-difluorobenzyl})-3\text{-(hexylamino)-2-hydroxypropyl}]-N^3,N^3\text{-dipropylisophthalamide,}$

30 $N^1-[(1S,2S)-3\text{-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1-\{(1S,2S)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide, and}$

N^1 -(1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- N^3,N^3 -dipropylisophthalamide.

24. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



- where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, and pharmaceutically acceptable salts thereof.

25. A method of treatment according to claim 24 where the disease is Alzheimer's disease.
26. A method of treatment according to claim 24 where the method is helping prevent or delay the onset of Alzheimer's disease.
27. A method of treatment according to claim 24 where the disease is mild cognitive impairment.

28. A method of treatment according to claim 24 where the disease is Down's syndrome.

5 29. A method of treatment according to claim 24 where the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

30. A method of treatment according to claim 24 where the disease is cerebral amyloid angiopathy.

10

31. A method of treatment according to claim 24 where the disease is degenerative dementias.

15 32. A method of treatment according to claim 24 where the disease is diffuse Lewy body type of Alzheimer's disease.

33. A method of treatment according to claim 24 where the method is treating an existing disease.

20 34. A method of treatment according to claim 24 where the method is preventing a disease from developing.

35. A method of treatment according to claim 24 where the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000 mg/day; for
25 parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

30 36. A method of treatment according to claim 35 where the therapeutically effective amount is for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

35 37. A method of treatment according to claim 36 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

38. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of:

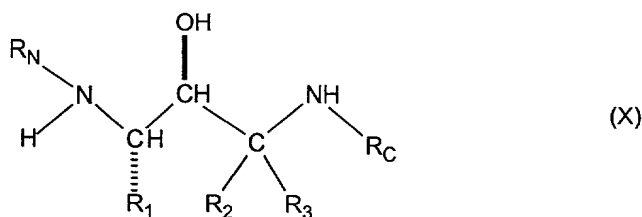
N^1 -[(1S,2S)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]- N^3,N^3 -dipropylisophthalamide,

N^1 -[(1S,2S)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3 -dipropylisophthalamide,

N^1 -{[(1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- N^3,N^3 -dipropylisophthalamide, and

N^1 -(1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}- N^3,N^3 -dipropylisophthalamide; and
a pharmaceutically acceptable salt thereof.

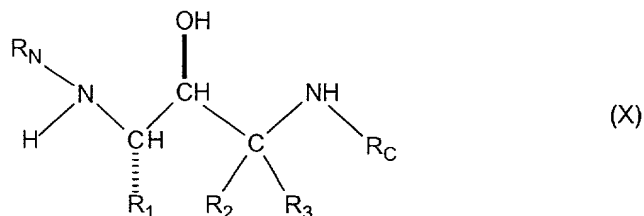
39. A pharmaceutical composition which comprises a substituted amine of formula (X)



30 where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable diluent or carrier.

40. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

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41. The method of claim 40, wherein said beta-secretase is exposed to said compound *in vitro*.

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42. The method of claim 40, wherein said beta-secretase is exposed to said compound in a cell.

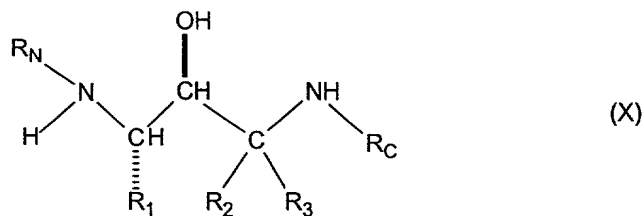
43. The method of claim 42, wherein said cell is in an animal.

20

44. The method of claim 43, wherein said animal is a human.

25

45. A method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, comprising exposing said reaction mixture to an effective inhibitory amount of a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

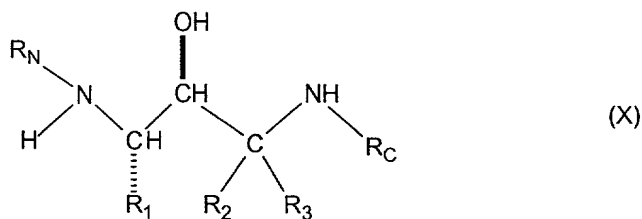
46. The method of claim 45, wherein said cleavage site is between Met652 and
5 Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,
numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695
Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation;
or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

10 47. The method of claim 45, wherein said reaction mixture is exposed *in vitro*.

48. The method of claim 47, wherein said reaction mixture is exposed in a cell.

15 49. The method of claim 48, wherein said cell is a human cell.

50. A method for inhibiting production of amyloid beta peptide (A beta) in a cell,
comprising administering to said cell an effective inhibitory amount of a compound of
formula (X)



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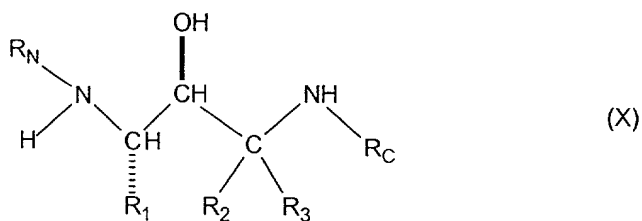
where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

51. The method of claim 50, wherein said administering is to an animal.

25

52. The method of claim 51, wherein said administering is to a human.

53. A method for inhibiting the production of beta-amyloid plaque in an animal,
comprising administering to said animal an effective inhibitory amount of a
30 compound of formula (X)



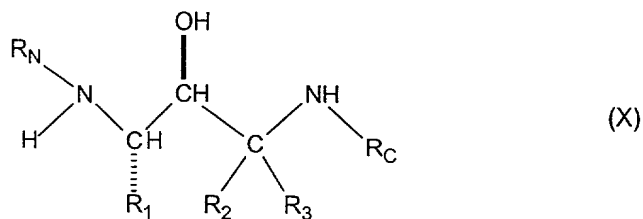
where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

5

54. The method of claim 53, wherein said animal is a human.

55. A method for treating or preventing a disease characterized by beta-amyloid
deposits in the brain comprising administering to a patient an effective therapeutic
amount of a compound of formula (X)

10



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

15

56. The method of claim 55, wherein said therapeutic amount is in the range of from
about 0.1 to about 1000 mg/day.

57. The method of claim 55, wherein said thereapeutic amount is in the range of from
about 15 to about 1500 mg/day.

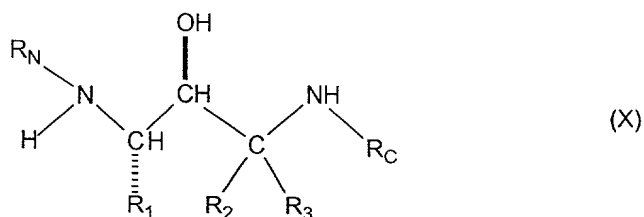
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58. The method of claim 57, wherein said thereapeutic amount is in the range of from
about 1 to about 100 mg/day.

59. The method of claim 58, wherein said thereapeutic amount is in the range of from
about 5 to about 50 mg/day.

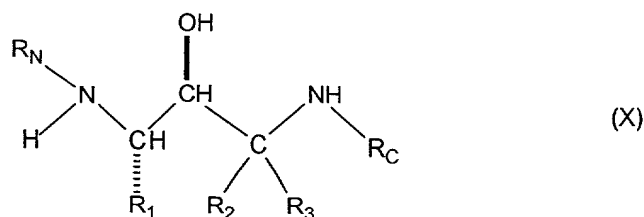
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60. The method of claim 55, wherein said disease is Alzheimer's disease.
61. The method of claim 55, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.
62. A composition comprising beta-secretase complexed with a compound of formula (X)



- where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

63. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)

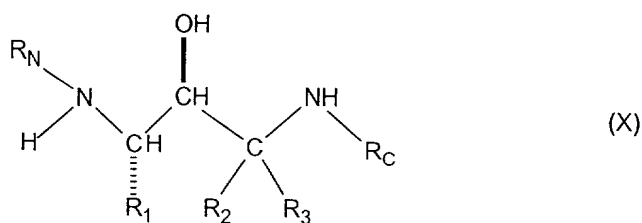


- where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

64. The method of claim 63, where said exposing is *in vitro*.

65. The method of claim 63, wherein said reaction mixture is a cell.

66. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)

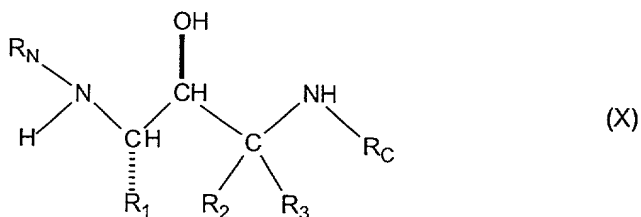


where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

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67. The kit of claim 66, wherein said compound is lyophilized and at least one further component part comprises a diluent.

68. A kit comprising a plurality of containers, each container comprising one or more
10 unit dose of a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

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69. The kit of claim 68, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.

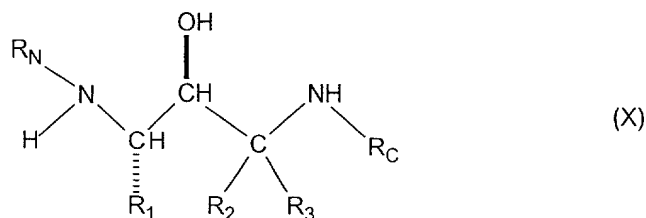
70. The kit of claim 69, wherein each container is adapted for parenteral delivery
20 and comprises a depot product, syringe, ampoule, or vial.

71. The kit of claim 69, wherein each container is adapted for topical delivery and comprises a patch, medipad, ointment, or cream.

25 72. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a

neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody; and

a compound of formula (X)



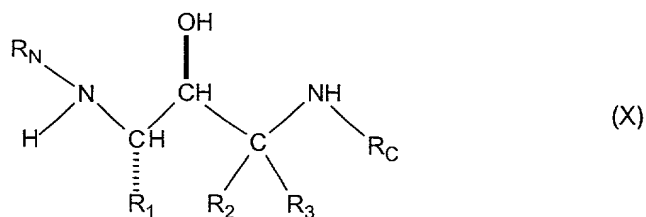
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where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

73. A composition comprising an inert diluent or edible carrier; and

10 a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

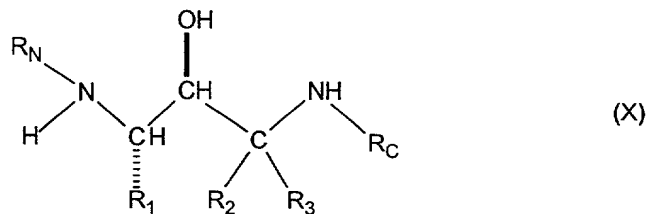
or a pharmaceutically acceptable salt thereof.

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74. The composition of claim 73, wherein said carrier is an oil.

75. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and

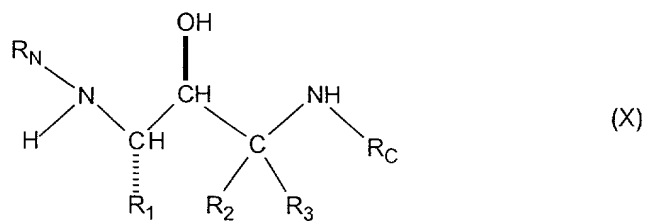
20 a compound of formula (X)



where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof..

76. A composition comprising a compound of formula (X)



5

where R_1 , R_2 , R_3 , R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof,

and where the compound is disposed in a cream, ointment, or patch.

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